

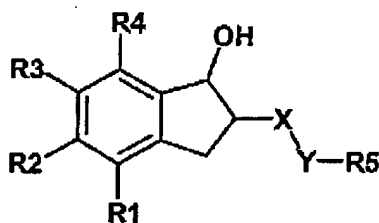
Application Ser. No.: 10/664,855
Filing Date: September 22, 2003
Examiner: Nwaonicha, Chukwuma O.

Amendment Pursuant to 37 C.F.R. § 1.121

IN THE CLAIMS:

The claims set forth below with amendments as indicated will replace all prior versions and listing of claims in the application.

1. (Currently amended) A compound of the formula I,



I

in which

A)

R1 to R4 are H;

X is S;

Y is (CH₂)_p, where p is 0, 1, 2 or 3;

R5 is CF₃; (C₂-C₁₈)-alkyl; (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

(CH₂)_r-COR6, where r is 1-6 and R6 is OH, O-(C₁-C₆)-alkyl or NH₂;

CH₂-CH(NHR7)-COR8, where R7 is H, C(O)-(C₁-C₄)-alkyl or C(O)O-(C₁-C₄)-alkyl and R8 is OH, O-(C₁-C₆)-alkyl or NH₂;

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phenyl, 1- or 2-naphthyl, or biphenyl radical, where the rings or ring systems are unsubstituted or substituted one or two times by F, Cl, Br, I, CN, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₂-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl; SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl; NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms independently replaced by fluorine;

with the proviso that R5 is not unsubstituted phenyl, 4-fluorophenyl, 4-bromophenyl, 4-chlorophenyl, 3-methylphenyl, 4-methylphenyl, 4-methoxyphenyl, 4-n-butylphenyl, 4-t-butylphenyl, 2-aminophenyl or C₁₂-alkyl; and
wherein at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

or

B)

R1, R4 independently of one another are
 H; F, Cl, Br, I; CN; N₃, NO₂, OH, O(C₁-C₈)-alkyl, O(C₃-C₄ and C₆-C₈)-cycloalkyl, O-CH₂-phenyl, O-phenyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂,

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$N[(C_3-C_8)\text{-cycloalkyl}]_2$, $NH\text{-CO-(C}_1\text{-C}_8\text{)-alkyl}$, $NH\text{-CO-(C}_3\text{-C}_8\text{)-cycloalkyl}$, SO_3H , $SO_2\text{-NH}_2$, $SO_2\text{-NH-(C}_1\text{-C}_8\text{)-alkyl}$, $SO_2\text{-NH-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $NH\text{-SO}_2\text{-NH}_2$, $NH\text{-SO}_2\text{-(C}_1\text{-C}_8\text{)-alkyl}$, $NH\text{-SO}_2\text{-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $O\text{-CH}_2\text{-COOH}$, $O\text{-CH}_2\text{-CO-O(C}_1\text{-C}_8\text{)-alkyl}$, $COOH$, $CO\text{-O(C}_1\text{-C}_8\text{)-alkyl}$, $CO\text{-O-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $CO\text{-NH}_2$, $CO\text{-NH(C}_1\text{-C}_8\text{)-alkyl}$, $CO\text{-N[(C}_1\text{-C}_8\text{)-alkyl]}_2$, $(C_1\text{-C}_8\text{)-alkyl}$, $(C_3\text{-C}_8\text{)-cycloalkyl}$, $(C_2\text{-C}_8\text{)-alkenyl}$, $(C_2\text{-C}_8\text{)-alkynyl}$, where in the alkyl, cycloalkyl, alkenyl and alkynyl groups in each case have zero to seven hydrogen atoms replaced by fluorine, or one hydrogen replaced by OH, $OC(O)CH_3$, $O\text{-CH}_2\text{-Ph}$, NH_2 , $NH\text{-CO-CH}_3$ or $N(COOCH_2Ph)_2$; or phenyl, or 1- or 2-naphthyl,

where in each case the aryl radical is unsubstituted or substituted one or two times by

F, Cl, Br, CN, OH, $(C_1\text{-C}_4\text{)-alkyl}$, CF_3 , $O\text{-(C}_1\text{-C}_4\text{)-alkyl}$,

$S(O)_{0-2}(C_1\text{-C}_6\text{)-alkyl}$, NH_2 , $NH\text{-SO}_2\text{-(C}_1\text{-C}_4\text{)-alkyl}$, $COOH$, $CO\text{-O-(C}_1\text{-C}_4\text{)-alkyl}$ or $CO\text{-NH}_2$ and wherein the alkyl groups in each case have zero to seven hydrogen atoms may be replaced by fluorine;

R2, R3 independently of one another are

H, F, Cl, Br, I, CN, N_3 , NO_2 , $O(C_1\text{-C}_8\text{)-alkyl}$, $O(C_3\text{-C}_8\text{)-cycloalkyl}$, $O\text{-CO-(C}_1\text{-C}_8\text{)-alkyl}$, $O\text{-CO-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $S(O)_{0-2}(C_1\text{-C}_8\text{)-alkyl}$, $S(O)_{0-2}(C_3\text{-C}_8\text{)-cycloalkyl}$, NH_2 , $NH\text{-(C}_1\text{-C}_8\text{)-alkyl}$, $NH\text{-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $N[(C_1\text{-C}_8\text{)-alkyl]}_2$, $N[(C_3\text{-C}_8\text{)-cycloalkyl]}_2$, $NH\text{-CO-(C}_1\text{-C}_8\text{)-alkyl}$, $NH\text{-CO-(C}_3\text{-C}_8\text{)-cycloalkyl}$, SO_3H , $SO_2\text{-NH}_2$, $SO_2\text{-NH-(C}_5\text{-C}_8\text{)-alkyl}$, $SO_2\text{-NH-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $NH\text{-SO}_2\text{-NH}_2$, $NH\text{-SO}_2\text{-(C}_1\text{-C}_8\text{)-alkyl}$, $NH\text{-SO}_2\text{-(C}_5\text{-C}_8\text{)-cycloalkyl}$, $O\text{-CH}_2\text{-COOH}$, $O\text{-CH}_2\text{-CO-O(C}_1\text{-C}_8\text{)-alkyl}$, $COOH$, $CO\text{-O(C}_1\text{-C}_8\text{)-alkyl}$, $CO\text{-O-(C}_3\text{-C}_8\text{)-cycloalkyl}$, $CO\text{-NH}_2$, $CO\text{-NH(C}_1\text{-C}_8\text{)-alkyl}$, $CO\text{-N[(C}_1\text{-C}_8\text{)-alkyl]}_2$, $(C_1\text{-C}_8\text{)-alkyl}$, $(C_3\text{-C}_8\text{)-cycloalkyl}$, $(C_2\text{-C}_8\text{)-alkenyl}$, $(C_2\text{-C}_8\text{)-alkynyl}$, where in the alkyl,

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cycloalkyl, alkenyl and alkynyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;
or one hydrogen replaced by OH, OC(O)CH₃, O-CH₂-Ph, NH₂, NH-CO-CH₃ or N(COOCH₂Ph)₂; or
phenyl, or 1- or 2-naphthyl,
and wherein the alkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

or R2 and R3 together form the group -O-CH₂-O-;

where in each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

X is S;

Y is (CH₂)_p, where p is 0, 1, 2 or 3;

R5 is (C₁-C₁₈)-alkyl; (C₃-C₄- and C₆-C₈)-cycloalkyl, wherein the alkyl and cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

(CH₂)_r-COR6, where r is 1-6 and R6 is OH, O-(C₁-C₆)-alkyl or NH₂;

CH₂-CH(NHR7)-COR8, where R7 is H, C(O)-(C₁-C₈)-alkyl or C(O)O-(C₁-C₈)-alkyl and R8 is OH, O-(C₁-C₆)-alkyl or NH₂;

phenyl, 1- or 2-naphthyl, or biphenyl, where the rings or ring systems are unsubstituted or substituted one or two times by F, Cl, Br, I, CN, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-

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alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₂-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl; SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl; NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, or (C₃-C₈)-cycloalkyl, where in the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

2. (Currently amended) The compound as claimed in claim 1, in which

R1, R4 independently of one another are
H, F, Cl, Br, I, CN, N₃, NO₂, OH, O(C₁-C₈)-alkyl, O(C₃-C₄ and C₆-C₈)-cycloalkyl, O-CH₂-phenyl, O-phenyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H, SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-NH₂, NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl, O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂, (C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, (C₂-C₈)-alkenyl, or (C₂-C₈)-alkynyl, wherein the alkyl, cycloalkyl, alkenyl and alkynyl groups in each case have zero to seven hydrogen atoms replaced by fluorine, or one hydrogen replaced by OH, OC(O)CH₃, O-CH₂-Ph, NH₂, NH-CO-CH₃ or N(COOCH₂Ph)₂; or phenyl, or 1- or 2-naphthyl,

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where in each case the aryl radical is unsubstituted or substituted one or two times by
F, Cl, Br, CN,
OH, (C₁-C₄)-alkyl, CF₃, O-(C₁-C₄)-alkyl,
S(O)₀₋₂(C₁-C₆)-alkyl, NH₂, NH-SO₂-(C₁-C₄)-alkyl;
COOH, CO-O-(C₁-C₄)-alkyl, CO-NH₂ and wherein in the alkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

R₂, R₃ independently of one another are
H, F, Cl, Br, I, CN, N₃, NO₂, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, S(O)₀₋₂(C₁-C₈)-alkyl, S(O)₀₋₂(C₃-C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H, SO₂-NH₂, SO₂-NH-(C₅-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-NH₂, NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl, O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂,
(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, (C₂-C₈)-alkenyl, (C₂-C₈)-alkynyl, where in the alkyl, alkenyl, cycloalkyl and alkynyl groups in each case have zero to seven hydrogen atoms replaced by fluorine; or one hydrogen replaced by OH, OC(O)CH₃, O-CH₂-Ph, NH₂, NH-CO-CH₃ or N(COOCH₂Ph)₂; or phenyl, or 1- or 2-naphthyl, wherein the alkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

or R₂ and R₃ together form the group -O-CH₂-O-;

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where in each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

X is S;

Y is $(CH_2)_p$, where p is 0, 1, 2 or 3;

R5 is (C_1-C_{18}) -alkyl; $(C_3-C_4$ - and $C_6-C_8)$ -cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

$(CH_2)_r$ -COR6, where r is 1-6 and R6 is OH, O- (C_1-C_6) -alkyl or NH_2 ;

$CH_2-CH(NHR7)-COR8$, where R7 is H, C(O)- (C_1-C_6) -alkyl or C(O)O- (C_1-C_6) -alkyl and R8 is OH, O- (C_1-C_6) -alkyl or NH_2 ;

phenyl, 1- or 2-naphthyl, or biphenyl, where the rings or ring systems ~~can be~~ are unsubstituted or substituted up to one or two times by F, Cl, Br, I, CN,

O (C_1-C_8) -alkyl, O (C_3-C_8) -cycloalkyl, O-CO- (C_1-C_8) -alkyl, O-CO- (C_3-C_8) -cycloalkyl, S(O)₀₋₂ (C_1-C_8) -alkyl, S(O)₀₋₂ (C_3-C_8) -cycloalkyl, NH_2 , NH- (C_1-C_8) -alkyl, NH- (C_3-C_8) -cycloalkyl, N[(C_1-C_8) -alkyl]₂, N[(C_3-C_8) -cycloalkyl]₂, NH-CO- (C_2-C_8) -alkyl, NH-CO- (C_3-C_8) -cycloalkyl; SO_3H ; SO_2-NH_2 , $SO_2-NH-(C_1-C_8)$ -alkyl, $SO_2-NH-(C_3-C_8)$ -cycloalkyl; NH- SO_2-NH_2 ; NH- $SO_2-(C_1-C_8)$ -alkyl, NH- $SO_2-(C_3-C_8)$ -cycloalkyl; O- CH_2-COOH , O- $CH_2-CO-O-(C_1-C_8)$ -alkyl, $COOH$, CO-O (C_1-C_8) -alkyl, CO-O- (C_3-C_8) -cycloalkyl, CO- NH_2 , CO-NH (C_1-C_8) -alkyl, CO-N[(C_1-C_8) -alkyl]₂; (C_1-C_8) -alkyl, or (C_3-C_8) -cycloalkyl, wherein the alkyl or cycloalkyl groups in each case have zero to seven hydrogen atoms replaced by fluorine;

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or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

3. (Currently amended) The compound as claimed in claim 1, in which

R1, R4 independently of one another are H, F, Cl, or Br;

R2, R3 independently of one another are
H, F, Cl, Br, CN, CONH₂, NH-SO₂-(C₁-C₈)-alkyl, O-(C₁-C₈)-alkyl,
COOH, (C₁-C₈)-alkyl, (C₁-C₈)-alkenyl, (C₁-C₈)-alkynyl, wherein the
alkyl, alkenyl and alkynyl groups in each case have zero to seven
hydrogen atoms replaced by fluorine; or

phenyl; where ~~the rings may be~~ phenyl is unsubstituted or
substituted up to one or two times by

F, Cl, Br, CN, OH, (C₁-C₄)-alkyl, CF₃, O-(C₁-C₄)-alkyl,
wherein the alkyl groups in each case have zero to seven hydrogen
atoms replaced by fluorine;

where in each case at least one of the radicals R1, R2, R3 and R4 is different
from hydrogen;

X is S;

Y is (CH₂)_p, where p is 0 or 1;

R5 is (C₁-C₁₈)-alkyl; (C₃-C₄- and C₆-C₈)-cycloalkyl, where in the alkyl
and cycloalkyl groups in each case have zero to seven hydrogen
atoms replaced by fluorine;

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$(\text{CH}_2)_r\text{-CO-O-(C}_1\text{-C}_6\text{)-alkyl}$, where r is 1-6;

$\text{CH}_2\text{-CH(NHR}_7\text{)-COR}_8$, where R_7 is H, $\text{C(O)-(C}_1\text{-C}_4\text{)-alkyl}$ or $\text{C(O)O-(C}_1\text{-C}_4\text{)-alkyl}$ and R_8 is OH, $\text{O-(C}_1\text{-C}_6\text{)-alkyl}$ or NH_2 ; or

phenyl;

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

4. (Cancelled)

5. (Currently amended) The compound as claimed in claim 1, in which

R_1 is H,

R_2 is Cl,

R_3 is H,

R_4 is H,

R_5 is CH_3 ,

X is S, and

Y is $(\text{CH}_2)_p$ where p is 0

or a physiologically tolerable salt thereof, in any stereoisomeric form, or a mixture of any such compounds in any ratio.

6. - 29. (Cancelled)